

(43) International Publication Date
27 May 2004 (27.05.2004)

PCT

(10) International Publication Number
WO 2004/043984 A1

(51) International Patent Classification⁷: C07H 17/08, 17/00, A61K 31/7048, A61P 31/04

(21) International Application Number: PCT/HR2003/000057

(22) International Filing Date: 10 November 2003 (10.11.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data: P20020886A 11 November 2002 (11.11.2002) HR

(71) Applicant and
(72) Inventor (for all designated States except US): PLIVA D.D. [HR/HR]; Ulica grada Vukovara 49, HR-10000 Zagreb (HR).

(72) Inventors; and
(75) Inventors/Applicants (for US only): KUJUNDZIC, Nedeljko [HR/HR]; Slavenskog 4, HR-10000 Zagreb (HR). BUKVIC KRAJACIC, Mirjana [HR/HR]; Tjardoviceva

(74) Common Representative: PLIVA D.D.; Pravni Poslovi-Intelektualno vlasnistvo, Povrzenic, Nives, Ulica grada Vukovara 49, HR-10000 Zagreb (HR).

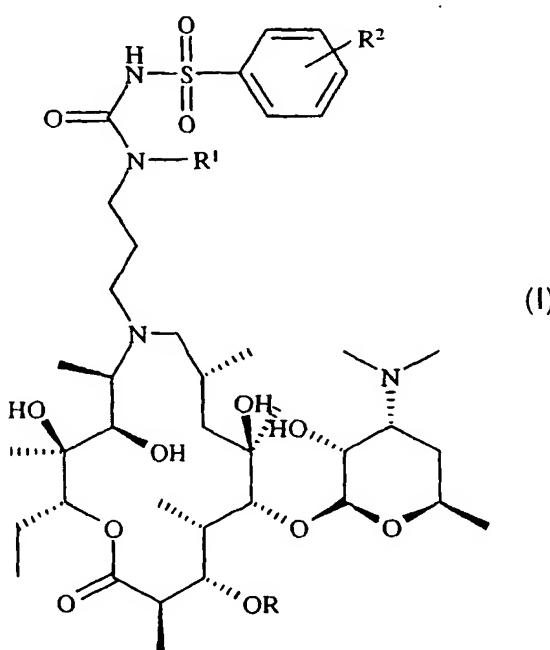
(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— with international search report

[Continued on next page]

(54) Title: SUBSTITUTED 9a-N-[N'-(BENZENESULFONYL)CARBAMOYL-Y-AMINOPROPYL]AND 9a-N-[N'-(B-CYANOETHYL)-N'-(BENZENESULFONYL)CARBAMOYL-Y-AMINOPROPYL]DERIVATIVES OF 9-DEOXO-9-DIHYDRO-9A-AZA-9A-HOMOERITHROMYCIN A AND 5-O-DESOSAMINYL-9-DEOXO-9-DIHYDRO-9A-AZA-HOMOERITHRONOLIDE A



(57) **Abstract:** The invention relates to substituted 9a-N-[N'-(benzenesulfonyl)carbamoyl- γ -aminopropyl] and 9a-N-[N'-(β -cyanoethyl)-N'-(benzenesulfonyl)carbamoyl- γ -aminopropyl] derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerithromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-homoerithronolide A, novel semisynthetic macrolide antibiotics of the azalide series, of the formula (I) wherein R represents H or cladinosyl moiety, R¹ represents H or β -cyanoethyl group and R² represents H or fluoro, chloro and methyl group, and pharmaceutically acceptable salts thereof with inorganic or organic acids, to the process for the preparation of pharmaceutical compositions as well as to the use their compositions for sterilization rooms and medical instruments as well as for protection of wall and wooden coatings.

WO 2004/043984 A1



- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.